

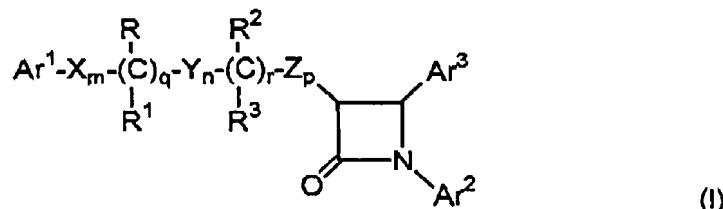
Application No. 10/700,909
 Paper Dated June 8, 2007
 In Reply to Office Action of March 5, 2007
 Attorney Docket No. CV06093US01

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CLAIM AMENDMENTS

1. (Currently Amended) A method of treating or preventing or preventing an autoimmune disorder in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof, wherein the at least one sterol absorption inhibitor is selected from the group consisting of sterol absorption inhibitors represented by the following Formulae:

(a) Formula (I):



or a pharmaceutically acceptable salt thereof or a solvate thereof,
 wherein:

Ar^1 and Ar^2 are independently selected from the group consisting of aryl and R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X, Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R and R^2 are independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ and $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$;

R^1 and R^3 are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

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m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

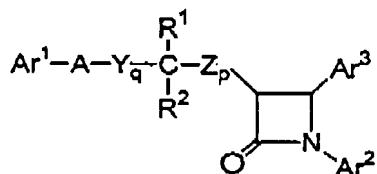
R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^8R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, -(lower alkylene)COOR⁶, $-CH=CH-COOR^6$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^5 is 1-5 substituents independently selected from the group consisting of $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^8$, $-CONR^6R^7$, $-COR^6$, $-SO_2NR^6R^7$, $S(O)_{0-2}R^9$, $-O(CH_2)_{1-10}COOR^6$, $-O(CH_2)_{1-10}CONR^6R^7$, -(lower alkylene)COOR⁶ and $-CH=CH-COOR^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl;

(b) Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar^1 is R^3 -substituted aryl;

Ar^2 is R^4 -substituted aryl;

Ar^3 is R^5 -substituted aryl;

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Y and Z are independently selected from the group consisting of -CH₂-,-CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

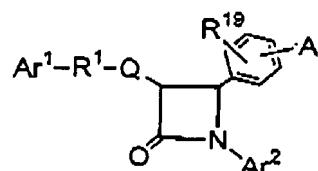
R⁵ is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁸, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

R³ and R⁴ are independently 1-3 substituents independently selected from the group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl;

(c) Formula (IV):



(IV)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

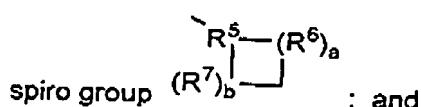
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A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



R¹ is selected from the group consisting of:

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-G-(CH₂)_r-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and

-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:

-CH-, -C(C₁-C₆ alkyl)-, -CF-, -C(OH)-, -C(C₆H₄-R⁹)-, -N-, or -NO⁺;

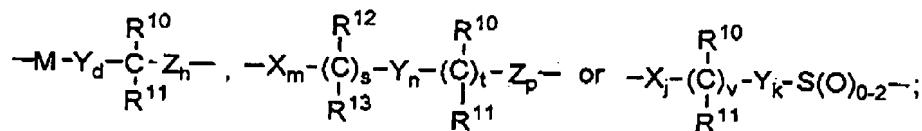
R⁸ and R⁷ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆ alkyl)), -CH=CH- and

-C(C₁-C₆ alkyl)=CH-; or R⁵ together with an adjacent R⁶, or R⁵ together with an adjacent R⁷, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R⁶ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R⁷ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R⁶'s can be the same or different; and provided that when b is 2 or 3, the R⁷'s can be the same or different;

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and when Q is a bond, R¹ also can be selected from:



where M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)- and -C(di-(C₁-C₆) alkyl);

R¹⁰ and R¹² are independently selected from the group consisting of -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

R¹¹ and R¹³ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl and aryl; or R¹⁰ and R¹¹ together are =O, or R¹² and R¹³ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

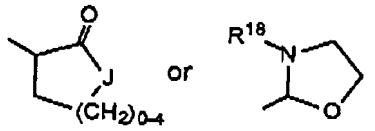
v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R² is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C₁-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl, R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵, NR¹⁴R¹⁵(C₁-C₆ alkylene)-, NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene)-, -NHC(O)R¹⁶, OH, C₁-C₆ alkoxy, -OC(O)R¹⁸, -COR¹⁴, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a

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substituent on a heterocycloalkyl ring, R² is as defined, or is =O or ;
 and, where R² is a substituent on a substitutable ring nitrogen, it is hydrogen, (C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH₂)₁₋₈CONR¹⁸R¹⁸, ;



wherein J is -O-, -NH-, -NR¹⁸- or -CH₂-;

R³ and R⁴ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶, -O(CH₂)₁₋₅OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁵R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆ alkylene)-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

R⁸ is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

R⁹ and R¹⁷ are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

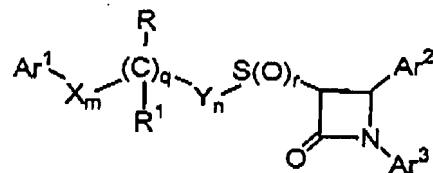
R¹⁶ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R¹⁸ is hydrogen or (C₁-C₆)alkyl; and

R¹⁹ is hydrogen, hydroxy or (C₁-C₆)alkoxy;

(d) Formula (V):

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(V)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R is $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ or $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are $=\text{O}$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m , n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH-COOR}^6$;

R^5 is 1-5 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$, halogen.

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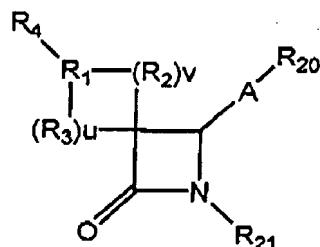
$-(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH-COOR}^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $-\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{-COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$ and halogen;

(e) Formula (VI):



(VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R_1 is

$-\text{CH}-$, $-\text{C}(\text{lower alkyl})-$, $-\text{CF}-$, $-\text{C}(\text{OH})-$, $-\text{C}(\text{C}_6\text{H}_5)-$, $-\text{C}(\text{C}_6\text{H}_4\text{-R}_{15})-$,
 $-\text{N}-$ or $-\text{N}^{\text{+}}\text{O}^-$;

R_2 and R_3 are independently selected from the group consisting of:

$-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$, $-\text{C}(\text{di-lower alkyl})-$, $-\text{CH}=\text{CH-}$ and $-\text{C}(\text{lower alkyl})=\text{CH-}$; or
 R_1 together with an adjacent R_2 , or R_1 together with an adjacent R_3 , form a
 $-\text{CH}=\text{CH-}$ or a $-\text{CH}=\text{C}(\text{lower alkyl})-$ group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided
 that when R_2 is $-\text{CH}=\text{CH-}$ or $-\text{C}(\text{lower alkyl})=\text{CH-}$, v is 1; provided that when R_3 is

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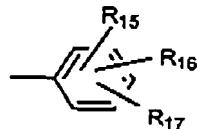
-CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;
 B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;
 B-(CH₂)_eZ-(CH₂)_r, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)O-2-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;
 B-(C₂-C₆ alkenylene)-;
 B-(C₄-C₆ alkadienylene)-;
 B-(CH₂)_tZ-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;
 B-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;
 B-(CH₂)_tV-(C₂-C₆ alkenylene)- or
 B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;
 B-(CH₂)_aZ-(CH₂)_bV-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group B-CH=C-;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or

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W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₂R₈, tert-butyldimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-,

N(R₈)(R₉)C(O)(lower alkyleneoxy)- and -CH₂-N(R₁₃) for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,

-N(R₁₃), -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

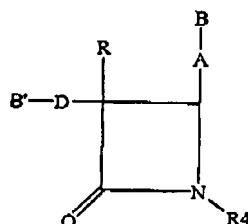
R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

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R15, R16 and R17 are independently selected from the group consisting of H and the groups defined for W; or R15 is hydrogen and R16 and R17, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

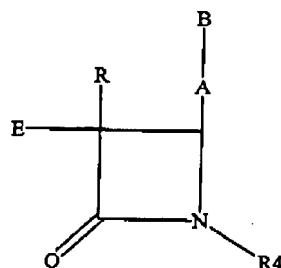
R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and
 R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above;

(f) Formula (VIIA) or (VIIIB):



(VIIA)

or



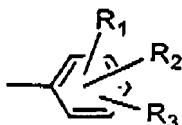
(VIIIB)

or a pharmaceutically acceptable salt or solvate thereof,
 wherein:

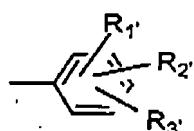
A is -CH=CH-, -C≡C- or -(CH₂)_p- wherein p is 0, 1 or 2;

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B is



B' is



D is $-(CH_2)_mC(O)-$ or $-(CH_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or $-C(O)-(C_9 \text{ to } C_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or B- $(CH_2)_r-$, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

R₄ is



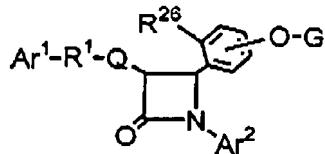
wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino;

(g) Formula (VIII):

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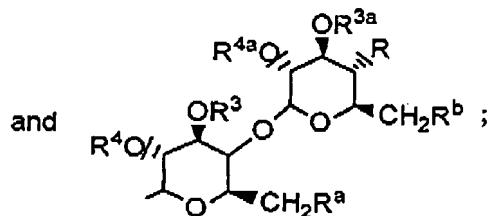
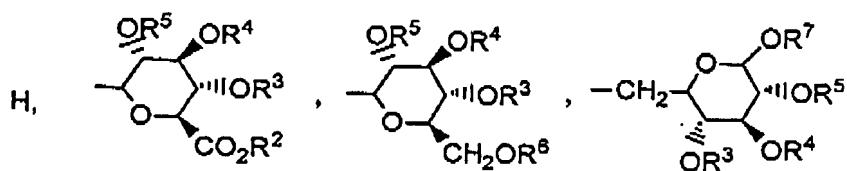


(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



provided that when R²⁶ is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,

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R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and
 R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

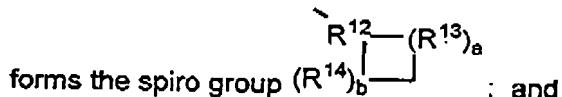
T is selected from the group consisting of phenyl, furyl, thieryl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,



R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r-, wherein E is -O-, -C(O)-, phenylene, -NR₂₂- or -S(O)O-2-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

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$-\overset{\text{I}}{\text{CH}}-, -\overset{\text{I}}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})-, -\overset{\text{I}}{\text{CF}}-, -\overset{\text{I}}{\text{C}}(\text{OH})-, -\overset{\text{I}}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^{23})-, -\overset{\text{I}}{\text{N}}-, \text{ or } -\overset{\text{I}}{\text{NO}}^-;$

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and

-C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

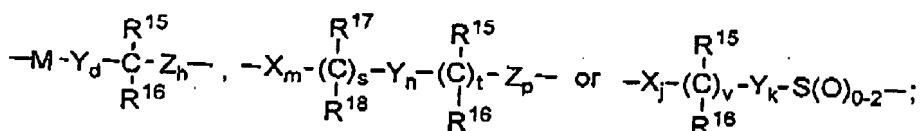
provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;

provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R¹³'s can be the same or different; and

provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆) alkyl- and -C(di-(C₁-C₆) alkyl);

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆) alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

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R¹⁶ and R¹⁸ are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

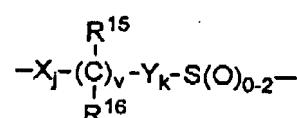
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and

provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;



and when Q is a bond and R¹ is Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

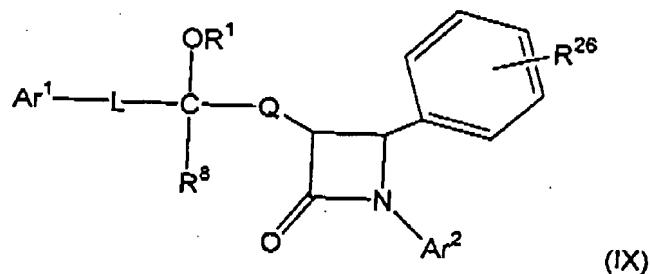
R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy; and

(h) Formula (IX):

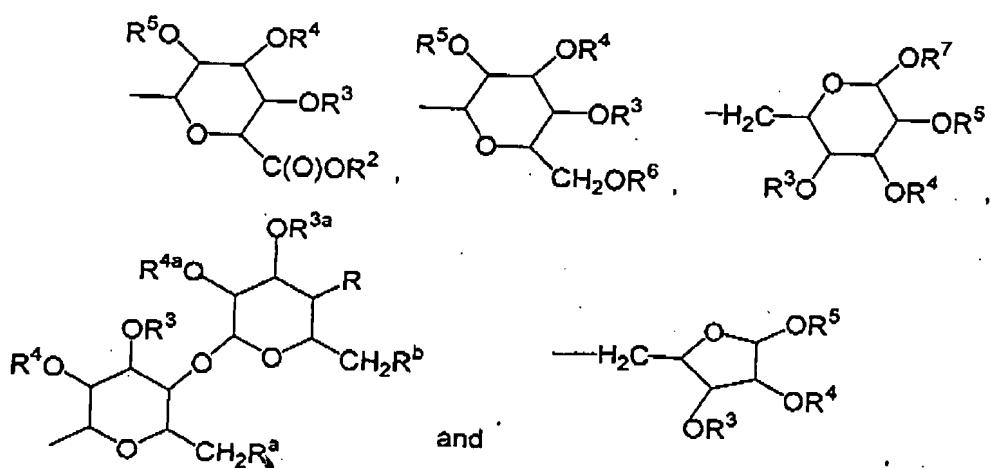
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or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R^1 is selected from the group consisting of H, G, G^1 , G^2 , $-SO_3H$ and $-PO_3H$;

G is selected from the group consisting of: H,



wherein R, R^a and R^b are each independently selected from the group consisting of H, -OH, halo, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)alkoxy or -W-R₃₀;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R₃₁)-, -NH-C(O)-N(R₃₁)- and -O-C(S)-N(R₃₁)-;

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R² and R⁶ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

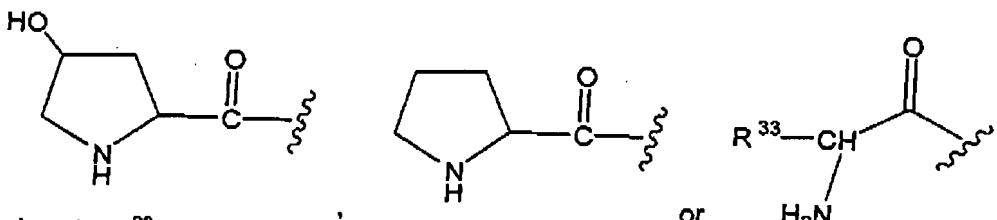
R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

G¹ is represented by the structure:

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wherein R^{33} is independently selected from the group consisting of unsubstituted alkyl, R^{34} -substituted alkyl, $(R^{35})(R^{36})$ alkyl-,

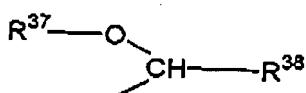


R^{34} is one to three substituents, each R^{34} being independently selected from the group consisting of HOOC-, HO-, HS-, $(CH_3)S-$, H_2N- , $(NH_2)(NH)C(NH)-$, $(NH_2)C(O)-$ and $HOOCCH(NH_2^+)CH_2SS-$;

R^{35} is independently selected from the group consisting of H and NH_2- ;

R^{36} is independently selected from the group consisting of H, unsubstituted alkyl, R^{34} -substituted alkyl, unsubstituted cycloalkyl and R^{34} -substituted cycloalkyl;

G^2 is represented by the structure:



wherein R^{37} and R^{38} are each independently selected from the group consisting of (C_1-C_6) alkyl and aryl;

R^{26} is one to five substituents, each R^{26} being independently selected from the group consisting of:

- a) H;
- b) -OH;
- c) -OCH₃;
- d) fluorine;

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- e) chlorine;
- f) $-O-G$;
- g) $-O-G^1$;
- h) $-O-G^2$;
- i) $-SO_3H$; and
- j) $-PO_3H$;

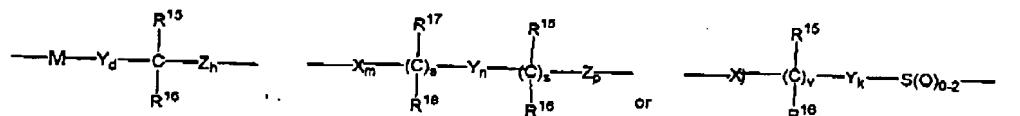
provided that when R^1 is H, R^{26} is not H, $-OH$, $-OCH_3$ or $-O-G$;

Ar^1 is aryl, R^{10} -substituted aryl, heteroaryl or R^{10} -substituted heteroaryl;

Ar^2 is aryl, R^{11} -substituted aryl, heteroaryl or R^{11} -substituted heteroaryl;

L is selected from the group consisting of:

- a) a covalent bond;
- b) $-(CH_2)_q-$, wherein q is 1-6;
- c) $-(CH_2)_e-E-(CH_2)_r-$, wherein E is $-O-$, $-C(O)-$, phenylene, $-NR^{22}-$ or $-S(O)_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d) $-(C_2-C_6)$ alkenylene-;
- e) $-(CH_2)_f-V-(CH_2)_g-$, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5; provided that the sum of f and g is 1-6; and
- f)



wherein M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X, Y and Z are each independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6)$ alkyl- and $-C(di-(C_1-C_6))alkyl-$;

R^8 is selected from the group consisting of H and alkyl;

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R^{10} and R^{11} are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C_1-C_6)alkyl, $-OR^{19}$, $-O(CO)R^{19}$, $-O(CO)OR^{21}$, $-O(CH_2)_1-5OR^{19}$, $O(CO)NR^{19}R^{20}$, $-NR^{19}R^{20}$, $-NR^{19}(CO)R^{20}$, $-NR^{19}(CO)OR^{21}$, $-NR^{19}(CO)NR^{20}R^{25}$, $-NR^{19}SO_2R^{21}$, $-COOR^{19}$, $-CONR^{19}R^{20}$, $-COR^{19}$, $SO_2NR^{19}R^{20}$, $S(O)_0-2R^{21}$, $-O(CH_2)_1-10-COOR^{19}$, $-O(CH_2)_1-10CONR^{19}R^{20}$, (C_1-C_6 alkylene)- $COOR^{19}$, $-CH=CH-COOR^{19}$, $-CF_3$, $-CN$, $-NO_2$ and halo;

R^{15} and R^{17} are each independently selected from the group consisting of $-OR^{19}$, $-OC(O)R^{19}$, $-OC(O)OR^{21}$, $-OC(O)NR^{19}R^{20}$,

R^{16} and R^{18} are each independently selected from the group consisting of H, (C_1-C_6)alkyl and aryl;

or R^{15} and R^{16} together are =O, or R^{17} and R^{18} together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

t is 0 or 1;

m, n and p are each independently selected from 0-4;

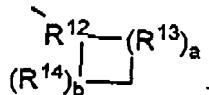
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

Q is a bond, $-(CH_2)_q-$, wherein q is 1-6, or, with the 3-position ring carbon of the azetidinone, forms the spiro group

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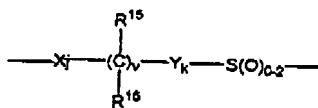
wherein R^{12} is

$-CH-$, $-C(C_1-C_6\text{ alkyl})-$, $-CF-$, $-C(OH)-$, $-C(C_6H_4-R^{23})-$, $-N-$, or $-NO^-$;

R^{13} and R^{14} are each independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6\text{ alkyl})-$, $-C(\text{di-}(C_1-C_6\text{ alkyl})-$, $-CH=CH-$ and $-C(C_1-C_6\text{ alkyl})=CH-$; or R^{12} together with an adjacent R^{13} , or R^{12} together with an adjacent R^{14} , form a $-CH=CH-$ or a $-CH=C(C_1-C_6\text{ alkyl})-$ group;

a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided that when R^{13} is $-CH=CH-$ or $-C(C_1-C_6\text{ alkyl})=CH-$, a is 1; provided that when R^{14} is $-CH=CH-$ or $-C(C_1-C_6\text{ alkyl})=CH-$, b is 1; provided that when a is 2 or 3, the R^{13} 's can be the same or different; and provided that when b is 2 or 3, the R^{14} 's can be the same or different;

and when Q is a bond and L is



then Ar^1 can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R^{19} and R^{20} are each independently selected from the group consisting of H, $(C_1-C_6)\text{alkyl}$, aryl and aryl-substituted $(C_1-C_6)\text{alkyl}$;

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R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

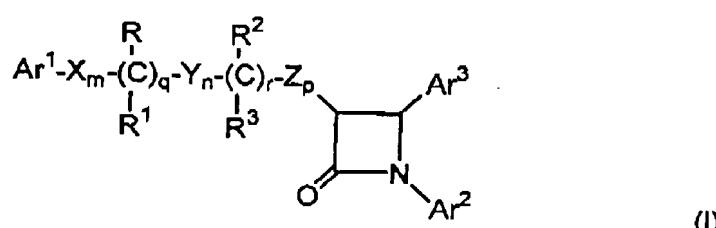
R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹,

R²³ and R²⁴ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halo; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy;

for the treatment of an autoimmune disorder in a subject.

2. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):



or a pharmaceutically acceptable salt thereof or a solvate thereof,
 wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁸R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

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q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

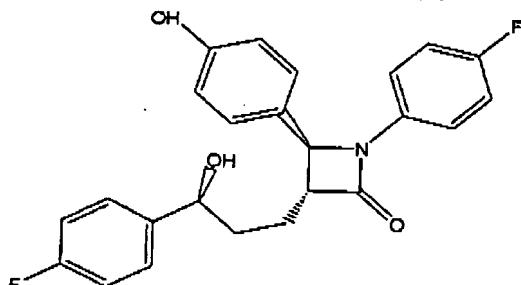
R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN, -NO₂ and halogen;

R⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

3. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (II):



(II)

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or a pharmaceutically acceptable salt or solvate thereof.

4. – 10. (Cancel).

11. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a subject in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

12. (Original) The method according to claim 1, further comprising the step of administering at least one other agent useful for the treatment of an autoimmune disorder to the subject.

13. (Currently Amended) The method according to claim 12, wherein the other agent useful for the treatment of an autoimmune disorder is selected from the group consisting of:

- a) disease modifying antirheumatic drugs;
- b) nonsteroidal antiinflammatory anti-inflammatory drugs;
- c) COX-2 selective inhibitors;
- d) COX-1 inhibitors;
- e) immunosuppressives; p70^{SE} kinase inhibitors; and inosine monophosphate dehydrogenase inhibitors;
- f) steroids;
- g) biological response modifiers; and
- h) other agents useful for the treatment of autoimmune disorders.

14. (Original) The method according to claim 1, further comprising the step of administering at least one HMG CoA reductase inhibitor to the subject.

15. (Original) The method according to claim 14, wherein the at least one HMG CoA reductase inhibitor is atorvastatin.

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16. (Original) The method according to claim 14, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

17. (Original) The method according to claim 1, wherein the subject has an autoimmune disorder selected from the group consisting of: Alopecia Areata, Ankylosing Spondylitis, Antiphospholipid Syndrome, Autoimmune Addison's Disease, Autoimmune Diabetes, Autoimmune Hemolytic Anemia, Autoimmune Hepatitis, Behcet's Disease, Bullous Pemphigoid, Cardiomyopathy, Celiac Sprue-Dermatitis, Chronic Fatigue Immune Dysfunction Syndrome (CFIDS), Chronic Inflammatory Demyelinating Polyneuropathy, Churg-Strauss Syndrome, Cicatricial Pemphigoid, CREST Syndrome, Cold Agglutinin Disease, Crohn's Disease, Discoid Lupus, Essential Mixed Cryoglobulinemia, Fibromyalgia-Fibromyositis, Good Pasture Syndrome, Graft Versus Host Disease, Graves' Disease, Guillain-Barré, Hashimoto's Thyroiditis, Idiopathic Pulmonary Fibrosis, Idiopathic Thrombocytopenia Purpura (ITP), IgA Nephropathy, Insulin Dependent Diabetes, Juvenile Arthritis, Lichen Planus, Lupus, Ménière's Disease, Mixed Connective Tissue Disease, Multiple Sclerosis, Myasthenia Gravis, Myositis, Pemphigus Vulgaris, Pernicious Anemia, Polyarteritis Nodosa, Polychondritis, Polyglandular Syndromes, Polymyalgia Rheumatica, Polymyositis and Dermatomyositis, Primary Agammaglobulinemia, Primary Biliary Cirrhosis, Psoriasis, Raynaud's Phenomenon, Reiter's Syndrome, Rheumatic Fever, Rheumatoid Arthritis, Sarcoidosis, Scleroderma, Sjögren's Syndrome, Stiff-Man Syndrome, Takayasu Arteritis, Temporal Arteritis/Giant-cell Arteritis, Ulcerative Colitis, Uveitis, Vasculitis, Vitiligo, and Wegener's Granulomatosis.

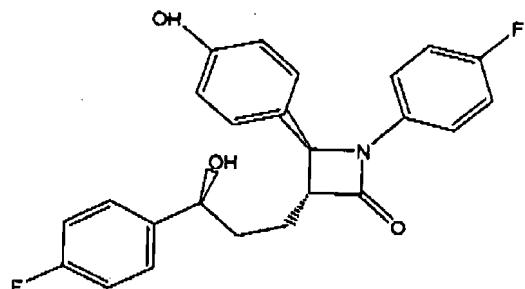
18. (Original) The method according to claim 1, wherein said sterol absorption inhibitor disrupts lipid raft formation and/or organization within the cell membranes of leukocytes.

19. (Original) The method according to claim 18, wherein said lipid raft disruption affects the pathogenesis of said autoimmune disorder by affecting at least one immune response selected from the group consisting of antigen presentation, T-

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cell activation, T-cell receptor signaling, adhesion molecule function, chemokine receptor signaling, and combinations thereof.

20. (Original) A method of treating or preventing an autoimmune disorder in a subject is provided, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor represented by Formula (II) below:



(II)

or a pharmaceutically acceptable salt or solvate thereof,
for the treatment of an autoimmune disorder in a subject.

21. (Original) The method according to claim 20, wherein the subject has an autoimmune disorder selected from the group consisting of: Alopecia Areata, Ankylosing Spondylitis, Antiphospholipid Syndrome, aplastic anemia, myelodysplastic syndromes, paroxysmal nocturnal hemoglobinuria, pure red cell aplasia, chronic neutropenia, amegakaryocytic thrombocytopenia, antiphospholipid syndromes, autoimmune thrombocytopenia, autoimmune hemolytic syndromes, antiphospholipid syndromes, autoimmune gastritis, achlorhydria, Autoimmune Addison's Disease, Autoimmune Diabetes, Autoimmune Hemolytic Anemia, Autoimmune Hepatitis, Autoimmune hypophysitis, Autoimmune orchiditis, autoimmune ovarian failure, Behcet's Disease, Bullous Pemphigoid, Cardiomyopathy, Celiac Sprue-Dermatitis, Cicatricial pemphigoid, Chronic Fatigue Immune Dysfunction Syndrome (CFIDS), Chronic Inflammatory Demyelinating Polyneuropathy, Interstitial cystitis, Churg-Strauss Syndrome, Cicatricial Pemphigoid, CREST Syndrome, Cold Agglutinin Disease, Crohn's Disease,

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Dermatitis herpetiformis, Discoid Lupus, Drug-induced autoimmune disorders, Endometriosis, Epidermolysis bullosa acquisita, Essential Mixed Cryoglobulinemia, Fibromyalgia-Fibromyositis, Glomerulonephritis, Good Pasture Syndrome, Graft Versus Host Disease, Graves' Disease, Guillain-Barré, Hashimoto's Thyroiditis, Idiopathic Inflammatory Myopathies, Idiopathic Pulmonary Fibrosis, Idiopathic Thrombocytopenia Purpura (ITP), IgA Nephropathy, Insulin Dependent Diabetes, Juvenile Arthritis, Lichen Planus, Systemic Lupus Erythematosus, Ménière's Disease, Metal-induced autoimmunity disorders, Mixed Connective Tissue Disease, Multiple Sclerosis, Myasthenia Gravis, Myocarditis, Myositis, Optic neuritis, Painless/postpartum thyroiditis, Peripheral nerve vasculitis, Pemphigus Foliaceus, Pemphigus Vulgaris, Pernicious Anemia, Polyarteritis Nodosa, Polychondritis, Polyglandular Syndromes, Polymyalgia Rheumatica, Polymyositis and Dermatomyositis, Postinfectious autoimmune disorders, Primary Agammaglobulinemia, Primary Biliary Cirrhosis, Psoriasis, Psoriatic Arthritis, Reactive Arthritis, Raynaud's Phenomenon, Reiter's Syndrome, Rheumatic Fever, Rheumatoid Arthritis, Sarcoidosis, Scleritis, Scleroderma, Sjögren's Syndrome, Stiff-Man Syndrome, Takayasu Arteritis, Temporal Arteritis/Giant-cell Arteritis, Ulcerative Colitis, Uveitis, Vasculitis, Vitiligo, and Wegener's Granulomatosis.

22. (Original) The method according to claim 20, further comprising the step of administering to said subject at least one other agent useful for the treatment of an autoimmune disorder.

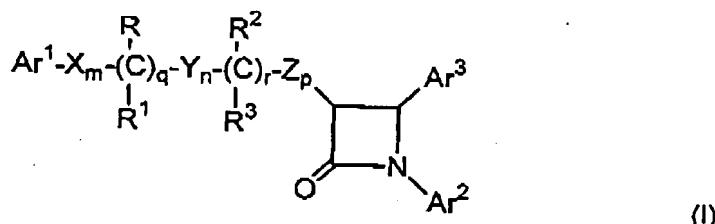
23. (Original) The method according to claim 22, wherein the subject has rheumatoid arthritis and wherein said other agent is selected from the group consisting of COX-2 inhibitors, COX inhibitors, immunosuppressives, steroids, PDE IV inhibitors, anti-TNF- α compounds, MMP inhibitors, glucocorticoids, chemokine inhibitors, CB2-selective inhibitors and combinations thereof.

24. (Currently Amended) A method of treating or preventing rheumatoid arthritis in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor

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or a pharmaceutically acceptable salt or solvate thereof, wherein the at least one sterol absorption inhibitor is selected from the group consisting of sterol absorption inhibitors represented by the following Formulae:

(a) **Formula (I):**



or a pharmaceutically acceptable salt thereof or a solvate thereof,
wherein:

Ar^1 and Ar^2 are independently selected from the group consisting of aryl and R^4 -substituted aryl:

Ar^3 is aryl or R^5 -substituted aryl.

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(di)lower alkyl)-:

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁸, -O(CO)OR⁹ and -O(CO)NR⁶R⁷.

R^1 and R^3 are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

a is 0 or 1:

Figures

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-OR^6$, $-O(CO)R^6$, $-O(CO)OR^9$, $-O(CH_2)_{1-5}OR^6$, $-O(CO)NR^6R^7$, $-NR^6R^7$, $-NR^6(CO)R^7$, $-NR^6(CO)OR^9$, $-NR^6(CO)NR^7R^8$, $-NR^6SO_2R^9$, $-COOR^6$

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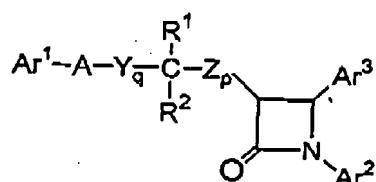
-CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
 -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN,
 -NO₂ and halogen;

R⁵ is 1-5 substituents independently selected from the group consisting of
 -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷,
 -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷,
 -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷,
 -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl;

(b) Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

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q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

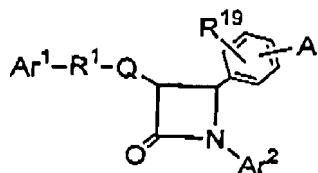
R^5 is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁸, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

R^3 and R^4 are independently 1-3 substituents independently selected from the group consisting of R^5 , hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl;

(c) Formula (IV):



(IV)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

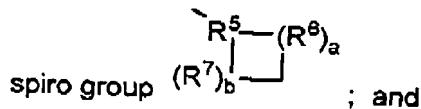
A is selected from the group consisting of R^2 -substituted heterocycloalkyl, R^2 -substituted heteroaryl, R^2 -substituted benzofused heterocycloalkyl, and R^2 -substituted benzofused heteroaryl;

Ar¹ is aryl or R^3 -substituted aryl;

Ar² is aryl or R^4 -substituted aryl;

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Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



R^1 is selected from the group consisting of:

$-(\text{CH}_2)_q-$, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

$-(\text{CH}_2)_e-\text{G}-(\text{CH}_2)_r-$, wherein G is $-\text{O}-$, $-\text{C}(\text{O})-$, phenylene, $-\text{NR}^8-$ or $-\text{S}(\text{O})_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

$-(\text{C}_2\text{C}_8 \text{ alkenylene})-$; and

$-(\text{CH}_2)_f\text{V}-(\text{CH}_2)_g-$, wherein V is $\text{C}_3\text{-C}_8$ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

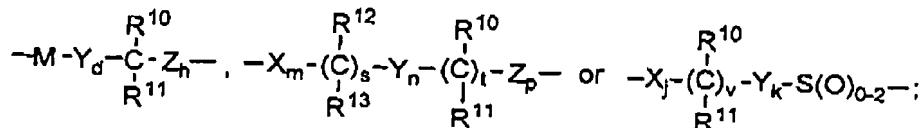
R^5 is selected from:

$-\overset{\text{l}}{\text{CH}}-, -\overset{\text{l}}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})-, -\overset{\text{l}}{\text{CF}}-, -\overset{\text{l}}{\text{C}}(\text{OH})-, -\overset{\text{l}}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^9)-, -\overset{\text{l}}{\text{N}}-, \text{ or } -\overset{\text{l}}{\text{NO}}^-;$

R^6 and R^7 are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$, $-\text{C}(\text{di-}(\text{C}_1\text{-C}_6 \text{ alkyl}))-$, $-\text{CH}=\text{CH}-$ and $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$; or R^5 together with an adjacent R^8 , or R^5 together with an adjacent R^7 , form a $-\text{CH}=\text{CH}-$ or a $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, a is 1; provided that when R^7 is $-\text{CH}=\text{CH}-$ or $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$, b is 1; provided that when a is 2 or 3, the R^8 's can be the same or different; and provided that when b is 2 or 3, the R^7 's can be the same or different;

and when Q is a bond, R^1 also can be selected from:



where M is $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$ or $-\text{S}(\text{O})_2-$;

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X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)- and -C(di-(C₁-C₆) alkyl);

R¹⁰ and R¹² are independently selected from the group consisting of -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

R¹¹ and R¹³ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl and aryl; or R¹⁰ and R¹¹ together are =O, or R¹² and R¹³ together are =O;

d is 1, 2 or 3;

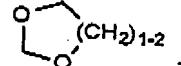
h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

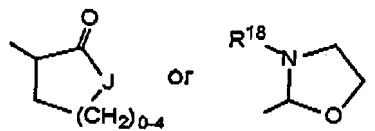
v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R² is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C₁-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl, R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵, NR¹⁴R¹⁵(C₁-C₆ alkylene), NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene), -NHC(O)R¹⁶, OH, C₁-C₆ alkoxy, -OC(O)R¹⁶, -COR¹⁴, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a

substituent on a heterocycloalkyl ring, R² is as defined, or is =O or ; and, where R² is a substituent on a substitutable ring nitrogen, it is hydrogen, (C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH₂)₁₋₆CONR¹⁸R¹⁸,

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wherein J is -O-, -NH-, -NR¹⁸- or -CH₂-;

R³ and R⁴ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁸, -O(CH₂)₁₋₅OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁶R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆)alkylene-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

R⁸ is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

R⁹ and R¹⁷ are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

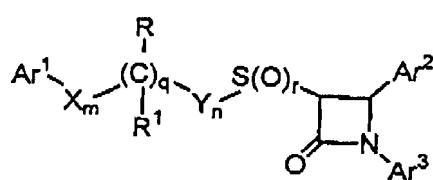
R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R¹⁶ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R¹⁸ is hydrogen or (C₁-C₆)alkyl; and

R¹⁹ is hydrogen, hydroxy or (C₁-C₆)alkoxy;

(d) Formula (V):



(V)

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or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R is $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ or $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, -(lower alkylene) COOR^6 and $-\text{CH}=\text{CH-COOR}^6$;

R^5 is 1-5 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$, halogen, -(lower alkylene) COOR^6 and $-\text{CH}=\text{CH-COOR}^6$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

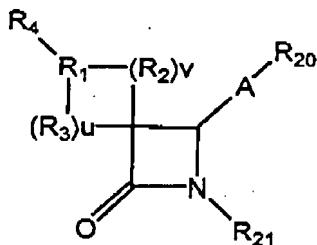
R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$,

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-NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶,
 -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
 -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂ and halogen;

(e) Formula (VI):



(VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R₁ is

-CH-, -C(lower alkyl)-, -CF-, -C(OH)-, -C(C₆H₅)-, -C(C₆H₄-R₁₅)-,
 -N- or -N⁺O⁻;

R₂ and R₃ are independently selected from the group consisting of:

-CH₂-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or
 R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a
 -CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided
 that when R₂ is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R₃ is
 -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can
 be the same or different; and provided that when u is 2 or 3, the R₃'s can be the
 same or different;

R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;
 B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

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B-(CH₂)_e-Z-(CH₂)_r, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)O-2-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

B-(C₂-C₆ alkenylene)-;

B-(C₄-C₆ alkadienylene)-;

B-(CH₂)_t-Z-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

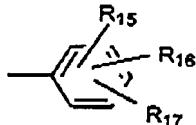
B-(CH₂)_t-V-(C₂-C₆ alkenylene)- or

B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH₂)_a-Z-(CH₂)_b-V-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group B-CH=C- ;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thiienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy,

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alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₂R₈, tert-butyldimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-,

N(R₈)(R₉)C(O)(lower alkyleneoxy)- and $-\text{CH}_2-\text{N}(\text{R}_{13})-$ for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,

$-\text{N}(\text{R}_{13})-$, -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

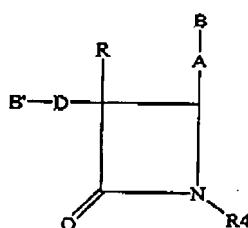
R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl,

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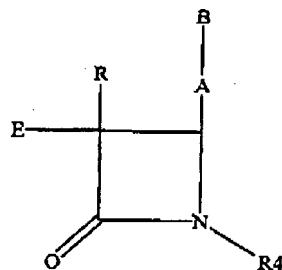
tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above;

(f) Formula (VIIA) or (VIIIB):



(VIIA)

or

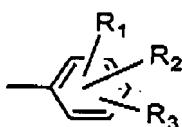


(VIIIB)

or a pharmaceutically acceptable salt or solvate thereof,
 wherein:

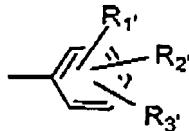
A is -CH=CH-, -C≡C- or -(CH₂)_p- wherein p is 0, 1 or 2;

B is



B' is

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D is $-(CH_2)_mC(O)-$ or $-(CH_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or $-C(O)-(C_9 \text{ to } C_{19})-$ alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or $B-(CH_2)_r-$, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

R₄ is

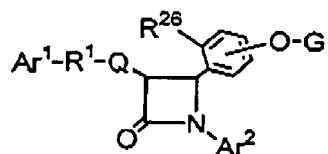


wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino;

(g) Formula (VIII):



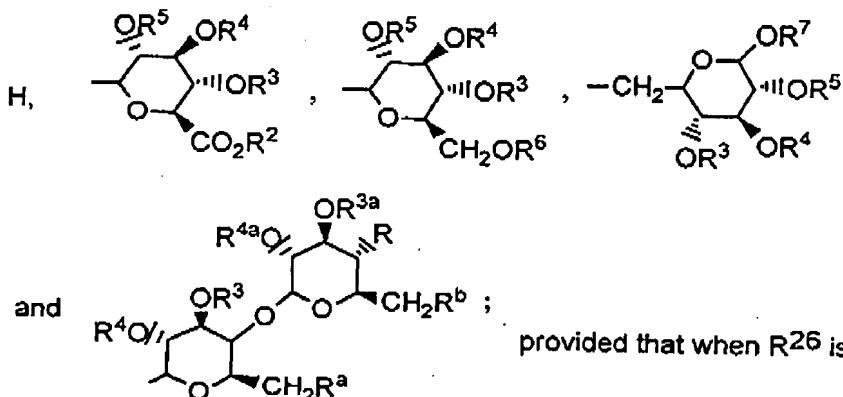
(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

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R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



provided that when R²⁶ is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, Isoxazolyl, thiazolyl, Isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

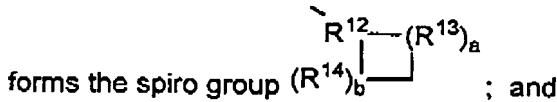
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R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,



R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)O-2-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

-(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

-CH-, -C(C₁-C₆ alkyl)-, -CF-, -C(OH)-, -C(C₆H₄-R²³)-, -N-, or -⁺[NO⁻];

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and

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-C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

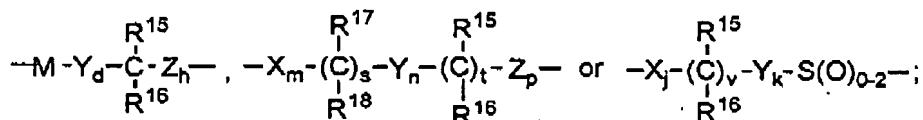
provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;

provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R¹³'s can be the same or different; and

provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)2-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-C₁-C₆)alkyl-;

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

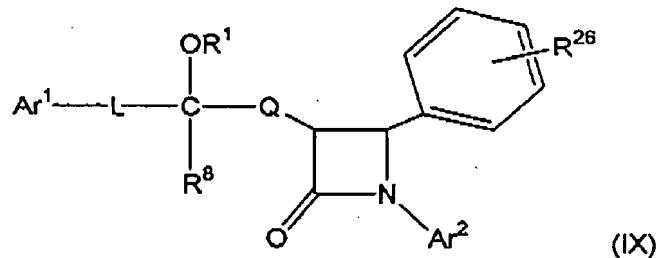
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s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;
 provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;
 provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and
 provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;
 v is 0 or 1;
 j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

$\begin{array}{c} R^{15} \\ | \\ -X_j-(C)_v-Y_k-S(O)_{0-2}- \\ | \\ R^{16} \end{array}$
 and when Q is a bond and R¹ is R^{16} , Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thiienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;
 R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;
 R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;
 R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and
 R²⁵ is H, -OH or (C₁-C₆)alkoxy; and

(h) Formula (IX):

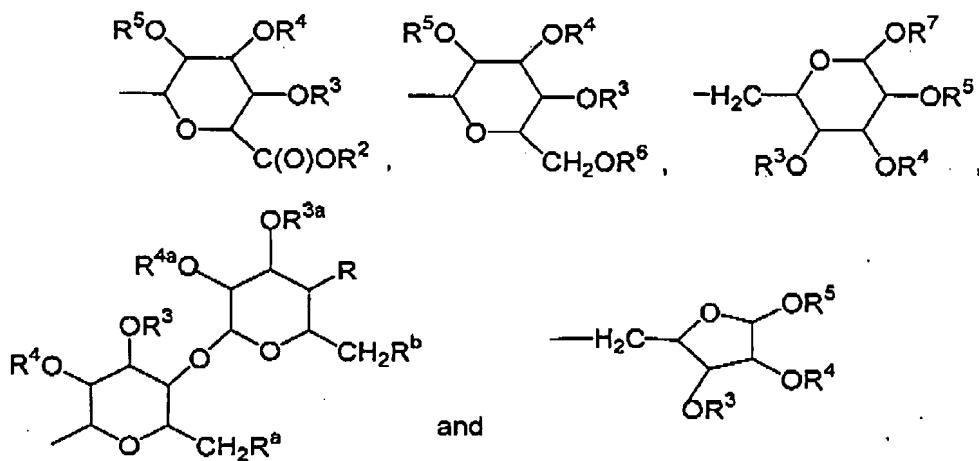


or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R¹ is selected from the group consisting of H, G, G¹, G², -SO₃H and -PO₃H;

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G is selected from the group consisting of: H,



wherein R, Ra and Rb are each independently selected from the group consisting of H, -OH, halo, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,

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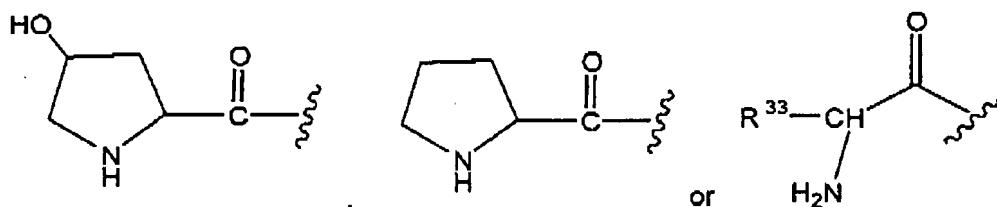
R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

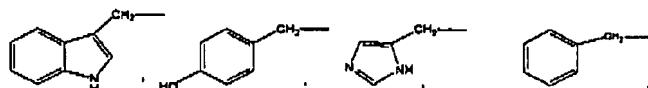
T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

G¹ is represented by the structure:



wherein R³³ is independently selected from the group consisting of unsubstituted alkyl, R³⁴-substituted alkyl, (R³⁵)(R³⁶)alkyl-,



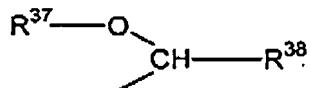
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R^{34} is one to three substituents, each R^{34} being independently selected from the group consisting of HOOC-, HO-, HS-, $(CH_3)S-$, H_2N- , $(NH_2)(NH)C(NH)-$, $(NH_2)C(O)-$ and HOOCCH(NH₂)CH₂SS-;

R^{35} is independently selected from the group consisting of H and NH₂-;

R^{36} is independently selected from the group consisting of H, unsubstituted alkyl, R^{34} -substituted alkyl, unsubstituted cycloalkyl and R^{34} -substituted cycloalkyl;

G^2 is represented by the structure:



wherein R^{37} and R^{38} are each independently selected from the group consisting of (C₁-C₆)alkyl and aryl;

R^{26} is one to five substituents, each R^{26} being independently selected from the group consisting of:

- a) H;
- b) -OH;
- c) -OCH₃;
- d) fluorine;
- e) chlorine;
- f) -O-G;
- g) -O-G¹;
- h) -O-G²;
- i) -SO₃H; and
- j) -PO₃H;

provided that when R^1 is H, R^{26} is not H, -OH, -OCH₃ or -O-G;

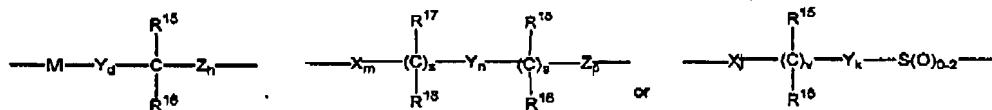
Ar¹ is aryl, R¹⁰-substituted aryl, heteroaryl or R¹⁰-substituted heteroaryl;

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Ar² is aryl, R¹¹-substituted aryl, heteroaryl or R¹¹-substituted heteroaryl;

L is selected from the group consisting of:

- a) a covalent bond;
- b) -(CH₂)_q-, wherein q is 1-6;
- c) -(CH₂)_e-E-(CH₂)_r, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)₀₋₂, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d) -(C₂-C₆)alkenylene-;
- e) -(CH₂)_f-V-(CH₂)_g-, wherein V is C₃-C₆cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f)



wherein M is -O-, -S-, -S(O)- or -S(O)₂;

X, Y and Z are each independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl)-;

R⁸ is selected from the group consisting of H and alkyl;

R¹⁰ and R¹¹ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, (C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halo;

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R^{15} and R^{17} are each independently selected from the group consisting of
 $-OR^{19}$, $-OC(O)R^{19}$, $-OC(O)OR^{21}$, $-OC(O)NR^{19}R^{20}$;

R^{16} and R^{18} are each independently selected from the group consisting of H,
 (C_1-C_6) alkyl and aryl;

or R^{15} and R^{18} together are =O, or R^{17} and R^{18} together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

t is 0 or 1;

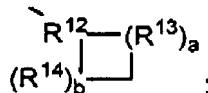
m, n and p are each independently selected from 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;
 provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that
 when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

Q is a bond, $-(CH_2)_q-$, wherein q is 1-6, or, with the 3-position ring carbon of
 the azetidinone, forms the spiro group



wherein R^{12} is

$-CH-$, $-C(C_1-C_6 \text{ alkyl})-$, $-CF-$, $-C(OH)-$, $-C(C_6H_4-R^{23})-$, $-N-$, or $-^+NO^-$;

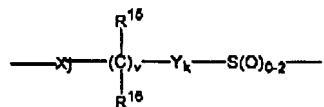
R^{13} and R^{14} are each independently selected from the group consisting of

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-CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R¹³'s can be the same or different; and provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond and L is



then Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thieryl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

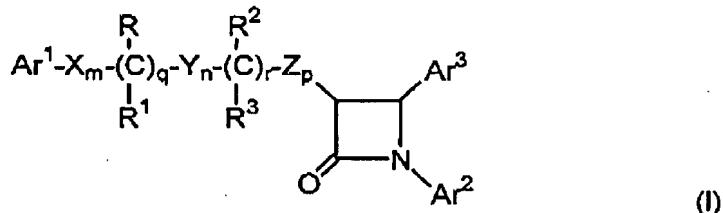
R²³ and R²⁴ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halo; and

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R25 is H, -OH or (C₁-C₆)alkoxy;
for the treatment of an autoimmune disorder in a subject.

25. (Currently Amended) A composition comprising: (a) at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof and (b) at least one other agent useful for the treatment of an autoimmune disorder, wherein the at least one sterol absorption inhibitor is selected from the group consisting of sterol absorption inhibitors represented by the following Formulae:

(a) Formula (I):



or a pharmaceutically acceptable salt thereof or a solvate thereof,
 wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

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m, *n* and *p* are independently selected from 0, 1, 2, 3 or 4; provided that at least one of *q* and *r* is 1, and the sum of *m*, *n*, *p*, *q* and *r* is 1, 2, 3, 4, 5 or 6; and provided that when *p* is 0 and *r* is 1, the sum of *m*, *q* and *n* is 1, 2, 3, 4 or 5;

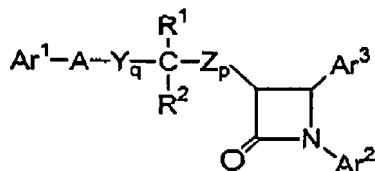
*R*⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN, -NO₂ and halogen;

*R*⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

*R*⁶, *R*⁷ and *R*⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

*R*⁹ is lower alkyl, aryl or aryl-substituted lower alkyl;

(b) Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

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Y and Z are independently selected from the group consisting of -CH₂-,-CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)₂-;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

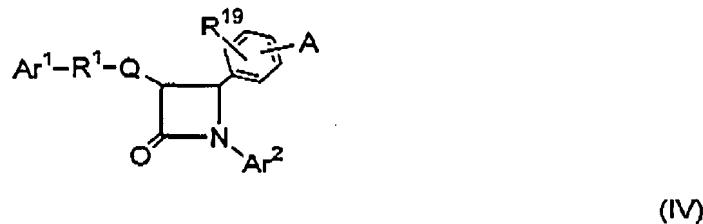
R⁵ is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

R³ and R⁴ are independently 1-3 substituents independently selected from the group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl;

(c) Formula (IV):



or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

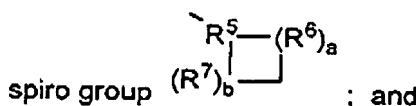
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A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



R¹ is selected from the group consisting of:

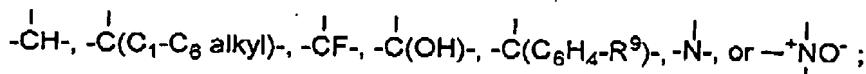
-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-G-(CH₂)_r-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and

-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:



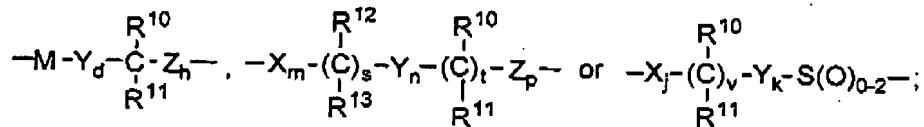
R⁶ and R⁷ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆ alkyl)), -CH=CH- and

-C(C₁-C₆ alkyl)=CH-; or R⁵ together with an adjacent R⁶, or R⁵ together with an adjacent R⁷, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R⁶ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R⁷ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R⁶'s can be the same or different; and provided that when b is 2 or 3, the R⁷'s can be the same or different;

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and when Q is a bond, R¹ also can be selected from:



where M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)- and -C(di-(C₁-C₆) alkyl);

R¹⁰ and R¹² are independently selected from the group consisting of -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

R¹¹ and R¹³ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl and aryl; or R¹⁰ and R¹¹ together are =O, or R¹² and R¹³ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

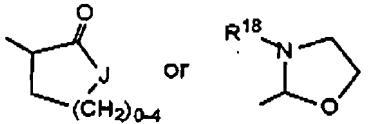
v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R² is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C₁-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl, (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl, R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵, NR¹⁴R¹⁵(C₁-C₆ alkylene)-, NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene)-, -NHC(O)R¹⁶, OH, C₁-C₆ alkoxy, -OC(O)R¹⁸, -COR¹⁴, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a

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substituent on a heterocycloalkyl ring, R² is as defined, or is =O or ;
 and, where R² is a substituent on a substitutable ring nitrogen, it is hydrogen,
 (C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy,
 -(CH₂)₁₋₆CONR¹⁸R¹⁸,



wherein J is -O-, -NH-, -NR¹⁸- or -CH₂-;

R³ and R⁴ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶, -O(CH₂)₁₋₅OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁵R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆)alkylene)-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

R⁸ is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

R⁹ and R¹⁷ are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

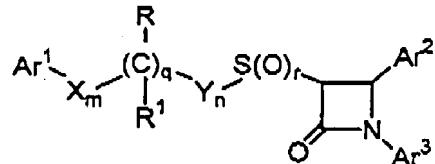
R¹⁸ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R¹⁹ is hydrogen or (C₁-C₆)alkyl; and

R¹⁹ is hydrogen, hydroxy or (C₁-C₆)alkoxy;

(d) Formula (V):

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(V)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

R is $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$ or $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are $=\text{O}$;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m , n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, -(lower alkylene) COOR^6 and $-\text{CH}=\text{CH}-\text{COOR}^6$;

R^5 is 1-5 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$, halogen,

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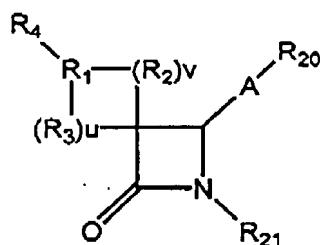
- $(\text{lower alkylene})\text{COOR}^6$ and $-\text{CH}=\text{CH-COOR}^8$;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R^9 is lower alkyl, aryl or aryl-substituted lower alkyl; and

R^{10} is 1-5 substituents independently selected from the group consisting of lower alkyl, $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^6(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2\text{R}^9$, $-\text{COOR}^6$, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^6$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $-\text{S}(\text{O})_{0-2}\text{R}^9$, $-\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, $-\text{CF}_3$, $-\text{CN}$, $-\text{NO}_2$ and halogen;

(e) Formula (VI):



(VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R_1 is

$-\text{CH}-$, $-\text{C}(\text{lower alkyl})-$, $-\text{CF}-$, $-\text{C}(\text{OH})-$, $-\text{C}(\text{C}_6\text{H}_5)-$, $-\text{C}(\text{C}_6\text{H}_4-\text{R}_{15})-$,

$-\text{N}-$ or $-\text{N}^+\text{O}^-$;

R_2 and R_3 are independently selected from the group consisting of:

$-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$, $-\text{C}(\text{di-lower alkyl})-$, $-\text{CH}=\text{CH-}$ and $-\text{C}(\text{lower alkyl})=\text{CH-}$; or

R_1 together with an adjacent R_2 , or R_1 together with an adjacent R_3 , form a

$-\text{CH}=\text{CH-}$ or a $-\text{CH}=\text{C}(\text{lower alkyl})-$ group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R_2 is $-\text{CH}=\text{CH-}$ or $-\text{C}(\text{lower alkyl})=\text{CH-}$, v is 1; provided that when R_3 is

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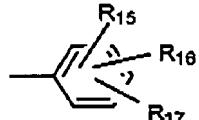
-CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can be the same or different; and provided that when u is 2 or 3, the R₃'s can be the same or different;

R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;
B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;
B-(CH₂)_eZ-(CH₂)_r, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)O-2-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;
B-(C₂-C₆ alkenylene)-;
B-(C₄-C₆ alkadienylene)-;
B-(CH₂)_tZ-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;
B-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;
B-(CH₂)_tV-(C₂-C₆ alkenylene)- or
B-(C₂-C₆ alkenylene)-V-(CH₂)_t, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;
B-(CH₂)_aZ-(CH₂)_bV-(CH₂)_d-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R₁ and R₄ together form the group B-CH=C- ;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or

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W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)₂R₈, tert-butylidimethyl-silyloxy methyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-,

N(R₈)(R₉)C(O)(lower alkyleneoxy)- and -CH₂-N(R₁₃) for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,

-N(R₁₃), -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

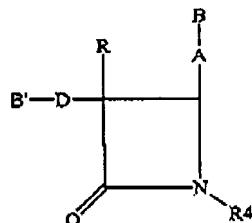
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R15, R16 and R17 are independently selected from the group consisting of H and the groups defined for W; or R15 is hydrogen and R16 and R17, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and

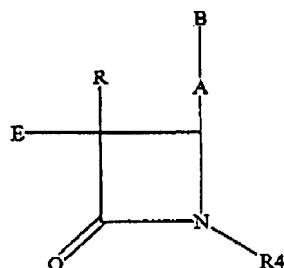
R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above;

(f) Formula (VIIA) or (VIIB):



(VIIA)

or



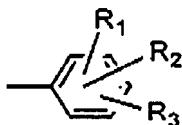
(VIIB)

or a pharmaceutically acceptable salt or solvate thereof,
 wherein:

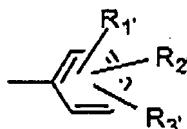
A is -CH=CH-, -C≡C- or -(CH₂)_p- wherein p is 0, 1 or 2;

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B is



B' is



D is $-(CH_2)_mC(O)-$ or $-(CH_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or -C(O)-(C₉ to C₁₉)-alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH₂)_r-, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

R₄ is



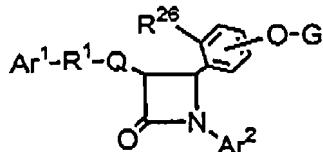
wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino;

(g) Formula (VIII):

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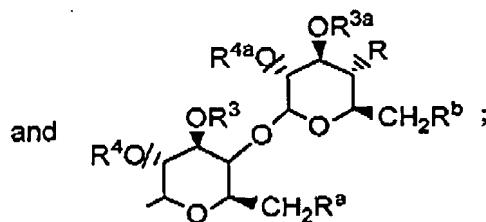
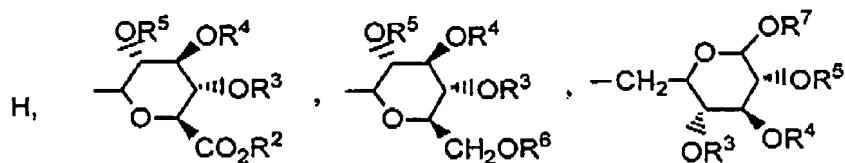


(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



provided that when R²⁶ is H or

OH, G is not H;

R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,

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R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and

R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

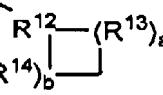
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,


 forms the spiro group (R¹⁴)_b; and

R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_eE-(CH₂)_r, wherein E is -O-, -C(O)-, phenylene, -NR²²- or -S(O)O-2-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆)alkenylene-; and

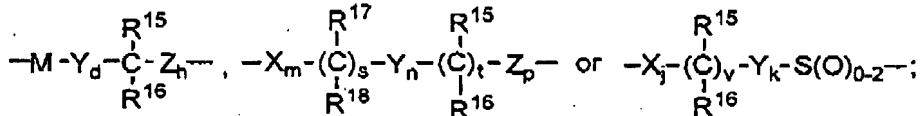
-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

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$\begin{array}{c} | \\ -\text{CH}-, -\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-, -\text{CF}-, -\text{C}(\text{OH})-, -\text{C}(\text{C}_6\text{H}_4\text{-R}^{23})-, -\text{N}-, \text{ or } -\text{NO}^-; \\ | \end{array}$

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;
 a and b are independently 0, 1, 2 or 3, provided both are not zero;
 provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;
 provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;
 provided that when a is 2 or 3, the R¹³'s can be the same or different; and
 provided that when b is 2 or 3, the R¹⁴'s can be the same or different;
 and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)₂-;
 X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

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R¹⁶ and R¹⁸ are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

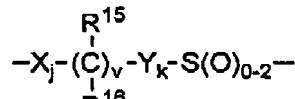
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and

provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;



and when Q is a bond and R¹ is Ar¹, Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thiaryl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

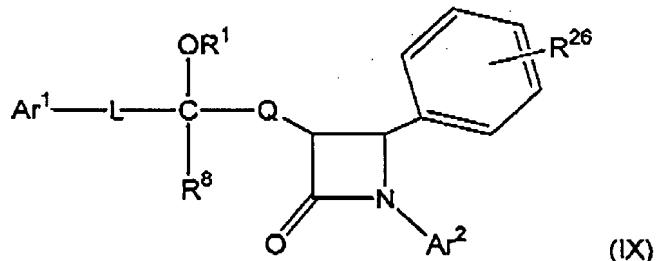
R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂,

-NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy; and

(h) Formula (IX):

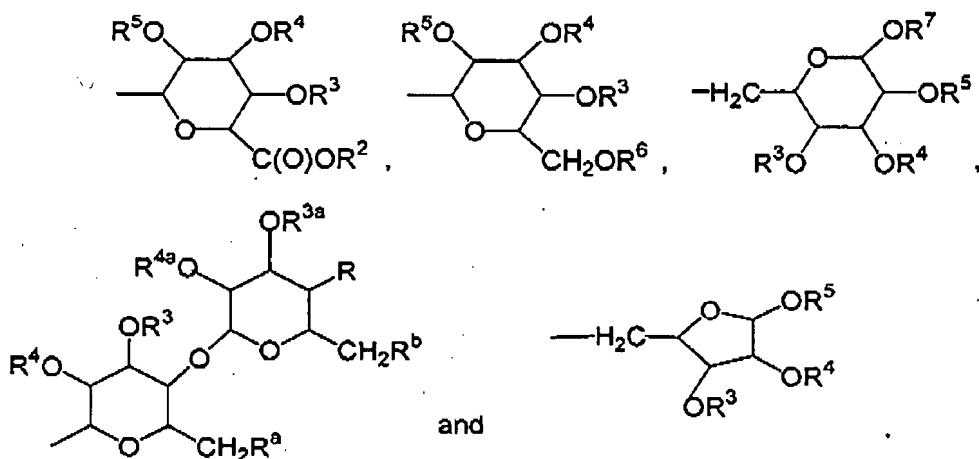
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or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R¹ is selected from the group consisting of H, G, G¹, G², -SO₃H and -PO₃H;

G is selected from the group consisting of: H,



wherein R, R^a and R^b are each independently selected from the group consisting of H, -OH, halo, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

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R² and R⁶ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

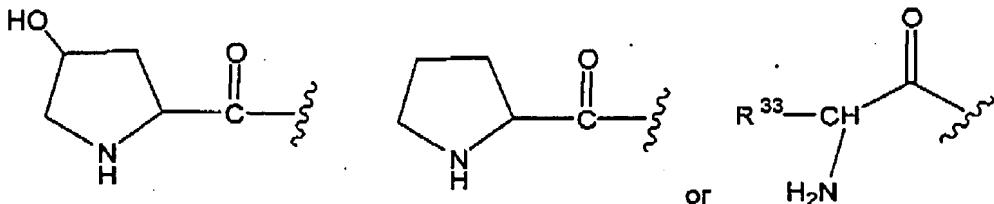
R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

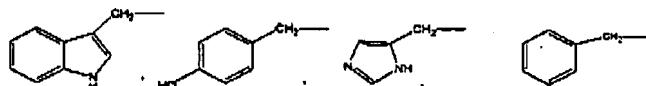
R³² is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

G¹ is represented by the structure:

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wherein R³³ is independently selected from the group consisting of unsubstituted alkyl, R³⁴-substituted alkyl, (R³⁵)(R³⁶)alkyl-,

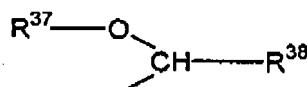


R³⁴ is one to three substituents, each R³⁴ being independently selected from the group consisting of HOOC-, HO-, HS-, (CH₃)S-, H₂N-, (NH₂)(NH)C(NH)-, (NH₂)C(O)- and HOOCCH(NH₂)⁺CH₂SS-;

R³⁵ is independently selected from the group consisting of H and NH₂;

R³⁶ is independently selected from the group consisting of H, unsubstituted alkyl, R³⁴-substituted alkyl, unsubstituted cycloalkyl and R³⁴-substituted cycloalkyl;

G² is represented by the structure:



wherein R³⁷ and R³⁸ are each independently selected from the group consisting of (C₁-C₆)alkyl and aryl;

R²⁶ is one to five substituents, each R²⁶ being independently selected from the group consisting of:

- H;
- OH;
- OCH₃;
- fluorine;

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- e) chlorine;
- f) $-O-G$;
- g) $-O-G'$;
- h) $-O-G^2$;
- i) $-SO_3H$; and
- j) $-PO_3H$;

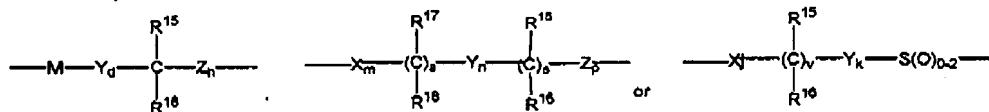
provided that when R^1 is H, R^{26} is not H, $-OH$, $-OCH_3$ or $-O-G$;

Ar^1 is aryl, R^{10} -substituted aryl, heteroaryl or R^{10} -substituted heteroaryl;

Ar^2 is aryl, R^{11} -substituted aryl, heteroaryl or R^{11} -substituted heteroaryl;

L is selected from the group consisting of:

- a) a covalent bond;
- b) $-(CH_2)_q-$, wherein q is 1-6;
- c) $-(CH_2)_e-E-(CH_2)_r-$, wherein E is $-O-$, $-C(O)-$, phenylene, $-NR^{22}-$ or $-S(O)_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d) $-(C_2-C_6)$ alkenylene-;
- e) $-(CH_2)_f-V-(CH_2)_g-$, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f)



wherein M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X, Y and Z are each independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6)$ alkyl- and $-C(di-(C_1-C_6))alkyl-$;

R^8 is selected from the group consisting of H and alkyl;

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R¹⁰ and R¹¹ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)-O-2R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆)alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halo;

R¹⁵ and R¹⁷ are each independently selected from the group consisting of -OR¹⁹, -OC(O)R¹⁹, -OC(O)OR²¹, -OC(O)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are each independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl;

or R¹⁵ and R¹⁸ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

t is 0 or 1;

m, n and p are each independently selected from 0-4;

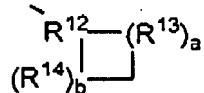
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

Q is a bond, -(CH₂)_q-, wherein q is 1-6, or, with the 3-position ring carbon of the azetidinone, forms the spiro group

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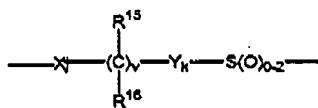
wherein R¹² is

$-\overset{\text{I}}{\text{CH}}-$, $-\overset{\text{I}}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})-$, $-\overset{\text{I}}{\text{CF}}-$, $-\overset{\text{I}}{\text{C}}(\text{OH})-$, $-\overset{\text{I}}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^{23})-$, $-\overset{\text{I}}{\text{N}}-$, or $-\overset{+}{\text{NO}}^-$;

R¹³ and R¹⁴ are each independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R¹³'s can be the same or different; and provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond and L is



then Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

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R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

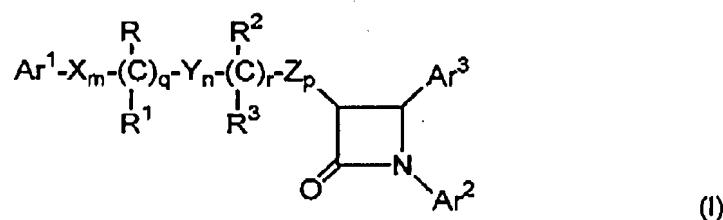
R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halo; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.

26. (Currently Amended) A therapeutic combination comprising: (a) a first amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof; and (b) a second amount of at least one other agent useful for the treatment of an autoimmune disorder, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of an autoimmune disorder in a subject, wherein the at least one sterol absorption inhibitor is selected from the group consisting of sterol absorption inhibitors represented by the following Formulae:

(a) Formula (I):



or a pharmaceutically acceptable salt thereof or a solvate thereof,
 wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

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X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁸, -O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁸, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN, -NO₂ and halogen;

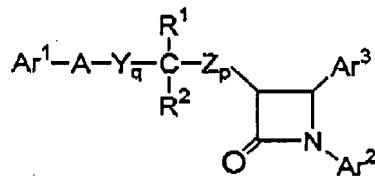
R⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl;

(b) Formula (III):

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(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar^1 is R^3 -substituted aryl;

Ar^2 is R^4 -substituted aryl;

Ar^3 is R^5 -substituted aryl;

Y and Z are independently selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}(\text{lower alkyl})-$ and $-\text{C}(\text{dilower alkyl})-$;

A is selected from $-\text{O}-$, $-\text{S}-$, $-\text{S}(\text{O})-$ or $-\text{S}(\text{O})_2-$;

R^1 is selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^8$, $-\text{O}(\text{CO})\text{OR}^9$ and $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$; R^2 is selected from the group consisting of hydrogen, lower alkyl and aryl; or R^1 and R^2 together are $=\text{O}$;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

R^5 is 1-3 substituents independently selected from the group consisting of $-\text{OR}^6$, $-\text{O}(\text{CO})\text{R}^6$, $-\text{O}(\text{CO})\text{OR}^9$, $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^9$, $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, $-\text{NR}^8(\text{CO})\text{R}^7$, $-\text{NR}^6(\text{CO})\text{OR}^9$, $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$, $-\text{NR}^6\text{SO}_2$ -lower alkyl, $-\text{NR}^6\text{SO}_2$ -aryl, $-\text{CONR}^6\text{R}^7$, $-\text{COR}^8$, $-\text{SO}_2\text{NR}^6\text{R}^7$, $\text{S}(\text{O})_{0-2}$ -alkyl, $\text{S}(\text{O})_{0-2}$ -aryl, $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^6$, $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)- COOR^6 , and $-\text{CH}=\text{CH-COOR}^8$;

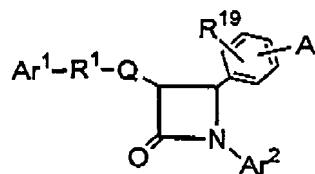
R^3 and R^4 are independently 1-3 substituents independently selected from the group consisting of R^5 , hydrogen, p-lower alkyl, aryl, $-\text{NO}_2$, $-\text{CF}_3$ and p-halogeno;

R^6 , R^7 and R^8 are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

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⁹ R is lower alkyl, aryl or aryl-substituted lower alkyl;

(c) Formula (IV):



(IV)

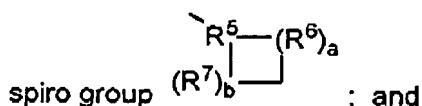
or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R²-substituted heterocycloalkyl, R²-substituted heteroaryl, R²-substituted benzofused heterocycloalkyl, and R²-substituted benzofused heteroaryl;

Ar¹ is aryl or R³-substituted aryl;

Ar² is aryl or R⁴-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



R¹ is selected from the group consisting of:

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH₂)_e-G-(CH₂)_r-, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or -S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C₂-C₆ alkenylene)-; and

-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R⁵ is selected from:

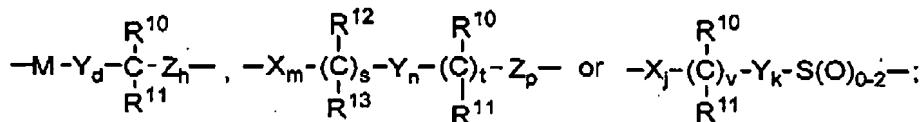
-CH-, -C(C₁-C₆ alkyl)-, -CF-, -C(OH)-, -C(C₆H₄-R⁹)-, -N-, or -NO-;

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R^6 and R^7 are independently selected from the group consisting of
 $-CH_2-$, $-CH(C_1-C_6\text{ alkyl})-$, $-C(\text{di-}(C_1-C_6\text{ alkyl})$, $-CH=CH-$ and
 $-C(C_1-C_6\text{ alkyl})=CH-$; or R^5 together with an adjacent R^6 , or R^5 together with an adjacent R^7 , form a $-CH=CH-$ or a $-CH=C(C_1-C_6\text{ alkyl})-$ group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R^6 is $-CH=CH-$ or $-C(C_1-C_6\text{ alkyl})=CH-$, a is 1; provided that when R^7 is $-CH=CH-$ or $-C(C_1-C_6\text{ alkyl})=CH-$, b is 1; provided that when a is 2 or 3, the R^6 's can be the same or different; and provided that when b is 2 or 3, the R^7 's can be the same or different;

and when Q is a bond, R^1 also can be selected from:



where M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X, Y and Z are independently selected from the group consisting of
 $-CH_2-$, $-CH(C_1-C_6\text{ alkyl})-$ and $-C(\text{di-}(C_1-C_6\text{ alkyl})$;

R^{10} and R^{12} are independently selected from the group consisting of
 $-OR^{14}$, $-O(CO)R^{14}$, $-O(CO)OR^{16}$ and $-O(CO)NR^{14}R^{15}$;

R^{11} and R^{13} are independently selected from the group consisting of hydrogen, $(C_1-C_6\text{ alkyl})$ and aryl; or R^{10} and R^{11} together are $=O$, or R^{12} and R^{13} together are $=O$;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

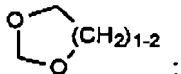
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

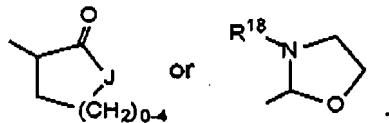
v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

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R^2 is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_2-C_{10})alkynyl, (C_3-C_6)cycloalkyl, (C_3-C_6)cycloalkenyl, R^{17} -substituted aryl, R^{17} -substituted benzyl, R^{17} -substituted benzyloxy, R^{17} -substituted aryloxy, halogeno, $-NR^{14}R^{15}$, $NR^{14}R^{15}(C_1-C_6)$ alkylene-, $NR^{14}R^{15}C(O)(C_1-C_6)$ alkylene-, $-NHC(O)R^{16}$, OH, C_1-C_6 alkoxy, $-OC(O)R^{16}$, $-COR^{14}$, hydroxy(C_1-C_6)alkyl, (C_1-C_6)alkoxy(C_1-C_6)alkyl, NO_2 , $-S(O)_{0-2}R^{16}$, $-SO_2NR^{14}R^{15}$ and $-(C_1-C_6)$ alkylene $COOR^{14}$; when R^2 is a

substituent on a heterocycloalkyl ring, R^2 is as defined, or is $=O$ or ; and, where R^2 is a substituent on a substitutable ring nitrogen, it is hydrogen, (C_1-C_6)alkyl, aryl, (C_1-C_6)alkoxy, aryloxy, (C_1-C_6)alkylcarbonyl, arylcarbonyl, hydroxy, $-(CH_2)_{1-6}CONR^{18}R^{18}$,



wherein J is $-O-$, $-NH-$, $-NR^{18}-$ or $-CH_2-$;

R^3 and R^4 are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C_1-C_6)alkyl, $-OR^{14}$, $-O(CO)R^{14}$, $-O(CO)OR^{16}$, $-O(CH_2)_{1-5}OR^{14}$, $-O(CO)NR^{14}R^{15}$, $-NR^{14}R^{15}$, $-NR^{14}(CO)R^{15}$, $-NR^{14}(CO)OR^{16}$, $-NR^{14}(CO)NR^{15}R^{18}$, $-NR^{14}SO_2R^{16}$, $-COOR^{14}$, $-CONR^{14}R^{15}$, $-COR^{14}$, $-SO_2NR^{14}R^{15}$, $S(O)_{0-2}R^{16}$, $-O(CH_2)_{1-10}COOR^{14}$, $-O(CH_2)_{1-10}CONR^{14}R^{15}$, $-(C_1-C_6)$ alkylene $-COOR^{14}$, $-CH=CH-COOR^{14}$, $-CF_3$, $-CN$, $-NO_2$ and halogen;

R^8 is hydrogen, (C_1-C_6)alkyl, aryl (C_1-C_6)alkyl, $-C(O)R^{14}$ or $-COOR^{14}$;

R^9 and R^{17} are independently 1-3 groups independently selected from the group consisting of hydrogen, (C_1-C_6)alkyl, (C_1-C_6)alkoxy, $-COOH$, NO_2 , $-NR^{14}R^{15}$, OH and halogeno;

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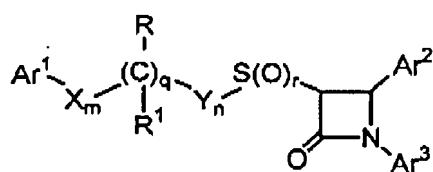
R^{14} and R^{15} are independently selected from the group consisting of hydrogen, (C_1 - C_6)alkyl, aryl and aryl-substituted (C_1 - C_6)alkyl;

R^{16} is (C_1 - C_6)alkyl, aryl or R^{17} -substituted aryl;

R^{18} is hydrogen or (C_1 - C_6)alkyl; and

R^{19} is hydrogen, hydroxy or (C_1 - C_6)alkoxy;

(d) Formula (V):



(V)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar^1 is aryl, R^{10} -substituted aryl or heteroaryl;

Ar^2 is aryl or R^4 -substituted aryl;

Ar^3 is aryl or R^5 -substituted aryl;

X and Y are independently selected from the group consisting of - CH_2 -, - CH (lower alkyl)- and - C (dilower alkyl)-;

R is - OR^6 , - $O(CO)R^6$, - $O(CO)OR^9$ or - $O(CO)NR^6R^7$; R^1 is hydrogen, lower alkyl or aryl; or R and R^1 together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R^4 is 1-5 substituents independently selected from the group consisting of lower alkyl, - OR^6 , - $O(CO)R^6$, - $O(CO)OR^9$, - $O(CH_2)_{1-5}OR^6$, - $O(CO)NR^6R^7$, - NR^6R^7 , - $NR^6(CO)R^7$, - $NR^6(CO)OR^9$, - $NR^6(CO)NR^7R^8$, - $NR^6SO_2R^9$, - $COOR^6$,

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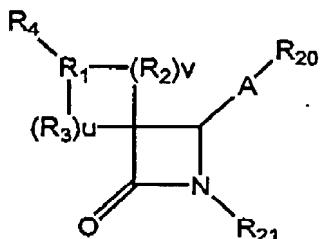
-CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
 -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶ is 1-5 substituents independently selected from the group consisting of
 -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷,
 -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -
 SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂,
 halogen,
 -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl; and
 R¹⁰ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷,
 -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶,
 -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
 -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂ and halogen;

(e) Formula (VI):



(VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R₁ is

-CH-, -C(lower alkyl)-, -CF-, -C(OH)-, -C(C₆H₅)-, -C(C₆H₄-R₁₅)-,

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$-N^+ or -N^+O^-$:

R₂ and R₃ are independently selected from the group consisting of:
 -CH₂-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or
 R₁ together with an adjacent R₂, or R₁ together with an adjacent R₃, form a
 -CH=CH- or a -CH=C(lower alkyl)- group;

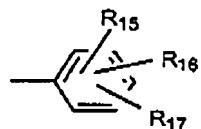
u and v are independently 0, 1, 2 or 3, provided both are not zero; provided
 that when R₂ is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R₃ is
 -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R₂'s can
 be the same or different; and provided that when u is 2 or 3, the R₃'s can be the
 same or different;

R₄ is selected from B-(CH₂)_mC(O)-, wherein m is 0, 1, 2, 3, 4 or 5;
 B-(CH₂)_q-, wherein q is 0, 1, 2, 3, 4, 5 or 6;
 B-(CH₂)_eZ-(CH₂)_r, wherein Z is -O-, -C(O)-, phenylene, -N(R₈)- or -S(O)O-2-, e is
 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2,
 3, 4, 5 or 6;
 B-(C₂-C₆ alkenylene)-;
 B-(C₄-C₆ alkadienylene)-;
 B-(CH₂)_tZ-(C₂-C₆ alkenylene)-, wherein Z is as defined above, and wherein t is 0,
 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the
 alkenylene chain is 2, 3, 4, 5 or 6;
 B-(CH₂)_fV-(CH₂)_g-, wherein V is C₃-C₆ cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0,
 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;
 B-(CH₂)_tV-(C₂-C₆ alkenylene)- or
 B-(C₂-C₆ alkenylene)-V-(CH₂)_t-, wherein V and t are as defined above, provided
 that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5
 or 6;
 B-(CH₂)_aZ-(CH₂)_bV-(CH₂)_d-, wherein Z and V are as defined above and a, b and
 d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2,
 3, 4, 5 or 6; or T-(CH₂)_s-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1,
 2, 3, 4, 5 or 6; or

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R₁ and R₄ together form the group B-CH=C-;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thieryl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxy carbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)NH₂, -S(O)O-2R₈, tert-butyl dimethyl-silyloxy methyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-,

N(R₈)(R₉)C(O)(lower alkyleneoxy)- and -CH₂-N(R₁₃) for substitution on ring carbon atoms,

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

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R12 is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R8)(R9), lower alkyl, phenyl or R7-phenyl;

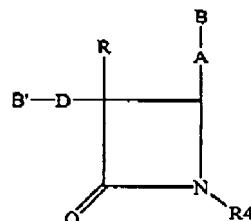
R13 is selected from -O-, -CH2-, -NH-, -N(lower alkyl)- or -NC(O)R19;

R15, R16 and R17 are independently selected from the group consisting of H and the groups defined for W; or R15 is hydrogen and R16 and R17, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and

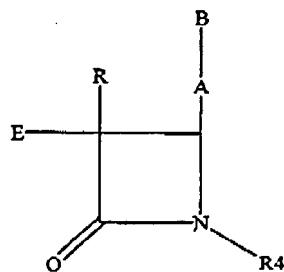
R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above;

(f) Formula (VIIA) or (VIIB):



(VIIA)

or



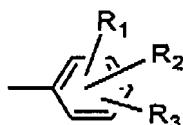
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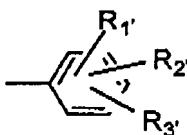
or a pharmaceutically acceptable salt or solvate thereof,
 wherein:

A is -CH=CH-, -C≡C- or -(CH₂)_p- wherein p is 0, 1 or 2;

B is



B' is



D is -(CH₂)_mC(O)- or -(CH₂)_q- wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or -C(O)-(C₉ to C₁₉)-alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH₂)_r-, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

R₄ is



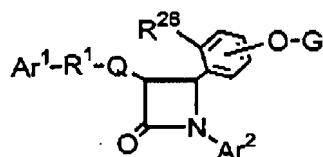
wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino;

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(g) Formula (VIII):

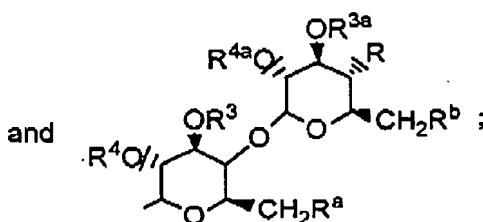
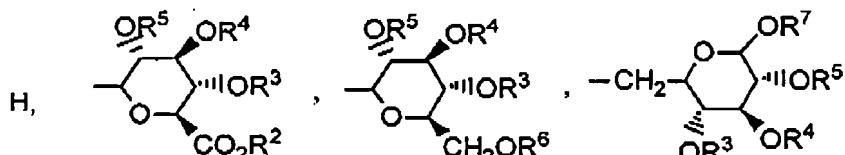


(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

R²⁶ is H or OG¹;

G and G¹ are independently selected from the group consisting of



provided that when R²⁶ is H or

OH, G is not H;

R, Rᵃ and Rᵇ are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy or -W-R³⁰;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and -O-C(S)-N(R³¹)-;

R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R³a and R⁴a are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

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R³⁰ is selected from the group consisting of R³²-substituted T,
 R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,
 R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and
 R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

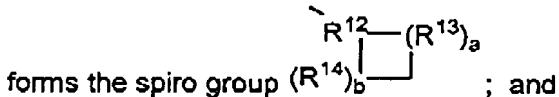
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl,
 oxazolyl, isoxazolyl, thiazolyl, losthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl,
 imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected
 from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy,
 -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl,
 (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl,
 -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and
 pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is
 attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or
 morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl,
 N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,



R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q
 can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r, wherein E is -O-, -C(O)-, phenylene, -NR²²- or
 -S(O)O-2-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
 -(C₂-C₆)alkenylene-; and

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$-(CH_2)^f-V-(CH_2)^g-$, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

$\begin{array}{l} | \\ -CH-, -C(C_1-C_6 \text{ alkyl})-, -CF-, -C(OH)-, -C(C_6H_4-R^{23})-, -N-, \text{ or } -^+NO^-; \\ | \end{array}$

R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

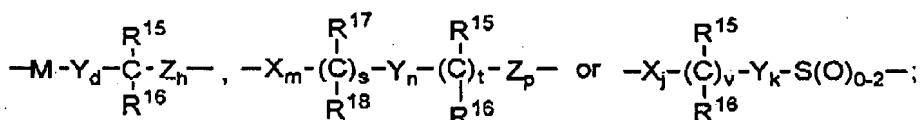
provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;

provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R¹³'s can be the same or different; and

provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond, R¹ also can be:



M is -O-, -S-, -S(O)- or -S(O)₂-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

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R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

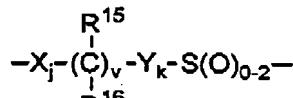
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and

provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;



and when Q is a bond and R¹ is Ar¹, Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

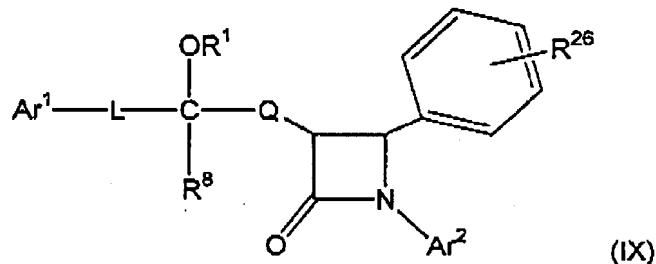
R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂,

-NR¹⁹R²⁰, -OH and halogeno; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy; and

(h) Formula (IX):

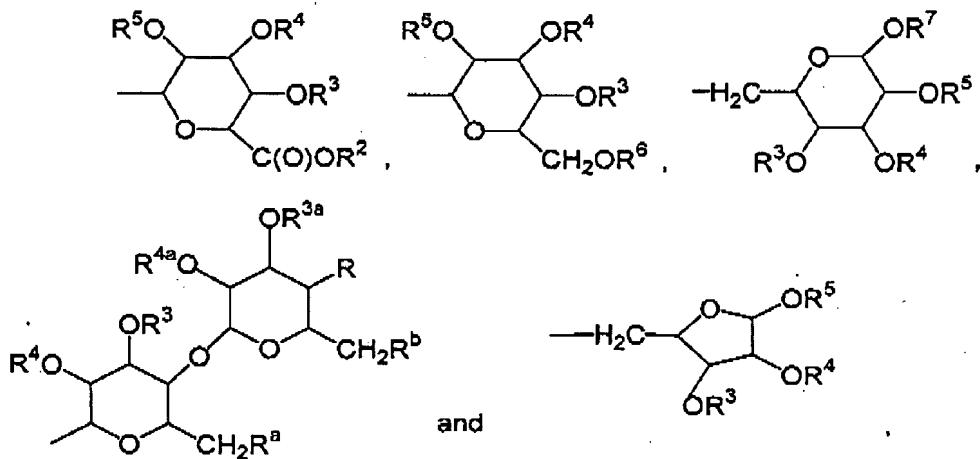
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or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R^1 is selected from the group consisting of H, G, G^1 , G^2 , $-\text{SO}_3\text{H}$ and $-\text{PO}_3\text{H}$;

G is selected from the group consisting of: H,



wherein R , R^a and R^b are each independently selected from the group consisting of H, -OH, halo, $-\text{NH}_2$, azido, ($\text{C}_1\text{-C}_6$)alkoxy($\text{C}_1\text{-C}_6$)alkoxy or $-\text{W}-\text{R}^{30}$;

W is independently selected from the group consisting of $-\text{NH}-\text{C}(\text{O})-$, $-\text{O}-\text{C}(\text{O})-$, $-\text{O}-\text{C}(\text{O})-\text{N}(\text{R}^{31})-$, $-\text{NH}-\text{C}(\text{O})-\text{N}(\text{R}^{31})-$ and $-\text{O}-\text{C}(\text{S})-\text{N}(\text{R}^{31})-$;

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R² and R⁶ are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are each independently selected from the group consisting of H, (C₁-C₆)alkyl, acetyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl, R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

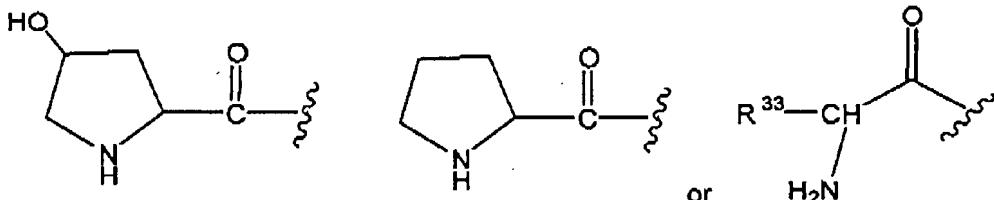
R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thiienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

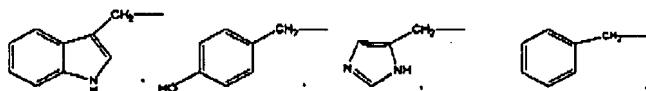
R³² is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

G¹ is represented by the structure:

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wherein R³³ is independently selected from the group consisting of unsubstituted alkyl, R³⁴-substituted alkyl, (R³⁵)(R³⁶)alkyl-,

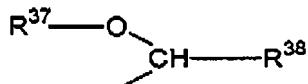


R³⁴ is one to three substituents, each R³⁴ being independently selected from the group consisting of HOOC-, HO-, HS-, (CH₃)S-, H₂N-, (NH₂)(NH)C(NH)-, (NH₂)C(O)- and HOOCCH(NH₂⁺)CH₂SS-;

R³⁵ is independently selected from the group consisting of H and NH₂;

R³⁶ is independently selected from the group consisting of H, unsubstituted alkyl, R³⁴-substituted alkyl, unsubstituted cycloalkyl and R³⁴-substituted cycloalkyl;

G² is represented by the structure:



wherein R³⁷ and R³⁸ are each independently selected from the group consisting of (C₁-C₆)alkyl and aryl;

R²⁶ is one to five substituents, each R²⁶ being independently selected from the group consisting of:

- a) H;
- b) -OH;
- c) -OCH₃;
- d) fluorine;

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- e) chlorine;
- f) $-O-G$;
- g) $-O-G^1$;
- h) $-O-G^2$;
- i) $-SO_3H$; and
- j) $-PO_3H$;

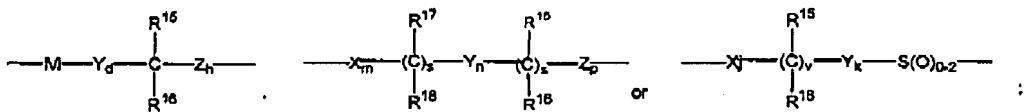
provided that when R^1 is H, R^{28} is not H, $-OH$, $-OCH_3$ or $-O-G$;

Ar^1 is aryl, R^{10} -substituted aryl, heteroaryl or R^{10} -substituted heteroaryl;

Ar^2 is aryl, R^{11} -substituted aryl, heteroaryl or R^{11} -substituted heteroaryl;

L is selected from the group consisting of:

- a) a covalent bond;
- b) $-(CH_2)_q-$, wherein q is 1-6;
- c) $-(CH_2)_e-E-(CH_2)_r-$, wherein E is $-O-$, $-C(O)-$, phenylene, $-NR^{22}-$ or $-S(O)_{0-2}-$, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- d) $-(C_2-C_6)\text{alkenylene}-$;
- e) $-(CH_2)_f-V-(CH_2)_g-$, wherein V is $C_3-C_6\text{cycloalkylene}$, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f)



wherein M is $-O-$, $-S-$, $-S(O)-$ or $-S(O)_2-$;

X, Y and Z are each independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6)\text{alkyl}-$ and $-C(\text{di-}(C_1-C_6)\text{alkyl})-$;

R^8 is selected from the group consisting of H and alkyl;

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R¹⁰ and R¹¹ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)O-2R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆) alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halo;

R¹⁶ and R¹⁷ are each independently selected from the group consisting of -OR¹⁹, -OC(O)R¹⁹, -OC(O)OR²¹, -OC(O)NR¹⁹R²⁰,

R¹⁶ and R¹⁸ are each independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl;

or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

t is 0 or 1;

m, n and p are each independently selected from 0-4;

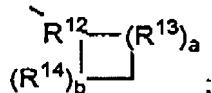
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

Q is a bond, -(CH₂)_q-, wherein q is 1-6, or, with the 3-position ring carbon of the azetidinone, forms the spiro group

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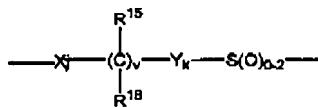
wherein R^{12} is

$-CH-$, $-C(C_1-C_6\text{ alkyl})-$, $-CF-$, $-C(OH)-$, $-C(C_6H_4-R^{23})-$, $-N-$, or $-NO^-$;

R^{13} and R^{14} are each independently selected from the group consisting of $-CH_2-$, $-CH(C_1-C_6\text{ alkyl})-$, $-C(\text{di-}(C_1-C_6\text{ alkyl})-$, $-CH=CH-$ and $-C(C_1-C_6\text{ alkyl})=CH-$; or R^{12} together with an adjacent R^{13} , or R^{12} together with an adjacent R^{14} , form a $-CH=CH-$ or a $-CH=C(C_1-C_6\text{ alkyl})-$ group;

a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided that when R^{13} is $-CH=CH-$ or $-C(C_1-C_6\text{ alkyl})=CH-$, a is 1; provided that when R^{14} is $-CH=CH-$ or $-C(C_1-C_6\text{ alkyl})=CH-$, b is 1; provided that when a is 2 or 3, the R^{13} 's can be the same or different; and provided that when b is 2 or 3, the R^{14} 's can be the same or different;

and when Q is a bond and L is



then Ar^1 can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R^{19} and R^{20} are each independently selected from the group consisting of H, $(C_1-C_6)\text{alkyl}$, aryl and aryl-substituted $(C_1-C_6)\text{alkyl}$;

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R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halo; and

R²⁵ is H, -OH or (C₁-C₆)alkoxy.